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PATENT APPLICATION

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re application of

Docket No: Q96826

Fumio SAMIZO, et al.

Appln. No.: 10/598,514

Group Art Unit: Unknown

Confirmation No.: 6721

Examiner: Unknown

Filed: September 1, 2006

For: BENZOTHAIAZIN-3-ONE COMPOUND AND INTERMEDIATE THEREFOR

**INFORMATION DISCLOSURE STATEMENT**  
**UNDER 37 C.F.R. §§ 1.97 and 1.98**

**MAIL STOP AMENDMENT**

Commissioner for Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450

Sir:

In accordance with the duty of disclosure under 37 C.F.R. § 1.56, Applicant hereby notifies the U.S. Patent and Trademark Office of the documents which are listed on the attached PTO/SB/08 A & B (modified) form and/or listed herein and which the Examiner may deem material to patentability of the claims of the above-identified application.

1. U.S. Patent No. 6,713,477 B1 issued March 30, 2004, to Scarlato et al. This patent corresponds to WO 00/63197.
2. U.S. Patent Application Publication No. 2005/0282905 A1 published December 22, 2005, to Horiuchi. This publication corresponds to WO 03/055851.
3. U.S. Patent Application Publication No. 2004/0043984 A1 published March 4, 2004, to O'Brien. This publication corresponds to WO 04/014389.
4. JP-A 2002-128769 published May 9, 2002, to Sumitomo Pharmaceutical Co., Ltd. with computer-generated English translation
5. U.S. Patent No. 4,584,300 issued April 22, 1986, to Iwao et al.

ALL REFERENCES CONSIDERED EXCEPT WHERE LINED THROUGH. /KH/

Fumio SAMIZO  
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INFORMATION DISCLOSURE STATEMENT

6. U.S. Patent No. 4,771,050 issued September 13, 1988, to Meguro et al.
7. U.S. Patent No. 4,755,509 issued July 5, 1988, to Teulon.
8. U.S. Patent No. 5,496,817 issued March 5, 1996, to Kawashima et al.
9. U.S. Patent No. 3,923,709 issued December 2, 1975, to Worley.
10. Masanobu Fujita, *et al.*, "A Novel, Convenient Synthesis of 2-Aryl-3-oxo-3,4-dihydro-2H-1,4-benzothiazines", *Synthesis*, August 1988, pp. 599-604.
11. J.W. Worley, *et al.*, "2-Dialkylphosphonyl- and 2-Alkylidene-3,4-dihydro-3-oxo-2H-1,4-benzothiazines", *J. Org. Chem.*, Vol. 40, No. 12, 1975, pp. 1731-1734.
12. Hiroyuki Tawada, *et al.*, "Studies on Antidiabetic Agents. IX. A New Aldose Reductase Inhibitor, AD-5467, and Related 1-4-Benzoxazine and 1-4-Benzothiazine Derivatives: Synthesis and Biological Activity", *Chem. Pharm. Bull.*, Vol. 38, No. 5, 1990, pp. 1238-1245.
13. Giuseppe Trapani, *et al.*, "Synthesis of 2-Substituted-N-Carboxymethyl-1,5-Benzothiazepin-4-Ones and -1,4-Benzothiazin-3-Ones and their Evaluation as Angiotensin Converting Enzyme Inhibitors", *Il Farmaco*, Vol. 50, No. 2, 1995, pp. 107-112.
14. U.S. Patent No. 3,910,904 issued October 7, 1975, to Worley.
15. U.S. Patent No. 3,873,555 issued March 25, 1975, to Bowden.
16. WO 96/20936 published July 11, 1996, to Synkyong Industries Co., Ltd.
17. Masahiro Kajino, *et al.*, "Synthesis and Biological Activities of New 1,4-Benzothiazine Derivatives", *Chem. Pharm. Bull.*, Vol. 39, No. 11, 1991, pp. 2888-2895.
18. Sarah E. Kelly and Thomas G. LaCour, "An Efficient Synthesis of (S)-2-Hexylthiodecanoic Acid", *Tetrahedron: Asymmetry*, Vol. 3, No. 6, 1992, pp. 715-718.
19. Zhe Wang, *et al.*, "Enantioselective Synthesis of  $\alpha$ -Hydroxy Carboxylic Acids: Direct Conversion of  $\alpha$ -Oxocarboxylic Acids to Enantiomerically Enriched  $\alpha$ -Hydroxy Carboxylic Acids via Neighboring Group Control", *Tetrahedron Letters*, Vol. 39, 1998, p. 5501-5504.

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INFORMATION DISCLOSURE STATEMENT

20. JP-A 10-120621 published May 12, 1998, to Takeda Chemical Industries, Ltd., with English Abstract.
21. JP-A 10-84987 published April 7, 1998, to Takeda Chemical Industries, Ltd., with English Abstract.
22. JP-A 2000-309575 published November 7, 2000, to Takeda Chemical Industries, Ltd., with English Abstract.
23. JP-A 2002-37761 published February 6, 2002, to Takeda Chemical Industries, Ltd., with English Abstract.
24. Yasuo Yoshihara, *et al.*, "Matrix metalloproteinases and tissue inhibitors of metalloproteinases in synovial fluids from patients with rheumatic arthritis or osteoarthritis", *Annals of the Rheumatic Diseases*, Vol. 59, No. 6, 2000, pp. 455-461.
25. R. Clark Billinghamurst, *et al.*, "Enhanced Cleavage of Type II Collagen by Collagenases in Osteoarthritic Articular Cartilage", *J. Clinical Investigation*, Vol. 99, No. 7, 1997, pp. 1534-1545.
26. Yuichi Nagakawa, *et al.*, "Histologic Features of Venous Invasion, Expression of Vascular Endothelial Growth Factor and Matrix Metalloproteinase-2 and Matrix Metalloproteinase-9, and the Relation with Liver Metastasis in Pancreatic Cancer", *Pancreas*, Vol. 24, No. 2, 2002, pp. 169-178.
27. Francesco Sinigaglia and Juergen Hammer, "Motifs and Supermotifs for MHC Class II Binding Peptides", *J. Exp. Med.*, Vol. 181, Feb. 1995, pp. 449-451.
28. E.M. O'Byrne, *et al.*, "Oral administration of a matrix metalloproteinase inhibitor, CGS 27023A, protects the cartilage proteoglycan matrix in a partial meniscectomy model of osteoarthritis in rabbits", *Inflamm. Res.*, Vol. 44, Supplement 2, 1995, pp. S117-S118.
29. A. Yamada, *et al.*, "ONO-4817, an orally active matrix metalloproteinase inhibitor, prevents lipopolysaccharide-induced proteoglycan release from the joint cartilage in guinea pigs", *Inflamm. Res.*, Vol. 49, 2000, pp. 144-146.
30. E.J. Lewis, *et al.*, "Ro 32-3555, an orally active collagenase inhibitor, prevents cartilage breakdown *in vitro* and *in vivo*", *British Journal of Pharmacology*, Vol. 121, 1997, pp. 540-546.
31. Alexander Rosemurgy, *et al.*, "Marimastat in Patients With Advanced Pancreatic Cancer", *Am. J. Clin. Oncol. (CCT)*, Vol. 22, No. 3, 1999, pp. 247-252.

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32. M. J. Janusz, *et al.*, "Induction of osteoarthritis in the rat by surgical tear of the meniscus: Inhibition of joint damage by a matrix metalloproteinase inhibitor", *Osteoarthritis and Cartilage*, Vol. 10, 2002, pp. 785-791.

One copy of each of the listed documents is submitted herewith, except for the U.S. patents and/or U.S. patent publications.

The present Information Disclosure Statement is being filed: (1) No later than three months from the application's filing date; (2) Before the mailing date of the first Office Action on the merits (whichever is later); or (3) Before the mailing date of the first Office Action after filing a request for continued examination (RCE) under § 1.114, and therefore, no Statement under 37 C.F.R. § 1.97(e) or fee under 37 C.F.R. § 1.17(p) is required.

The submission of the listed documents is not intended as an admission that any such document constitutes prior art against the claims of the present application. Applicant does not waive any right to take any action that would be appropriate to antedate or otherwise remove any listed document as a competent reference against the claims of the present application.

The USPTO is directed and authorized to charge all required fees, except for the Issue Fee and the Publication Fee, to Deposit Account No. 19-4880. Please also credit any overpayments to said Deposit Account.

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23373

CUSTOMER NUMBER

Date: January 5, 2007

Respectfully submitted,



John T. Callahan  
Registration No. 32,607

Substitute for Form 1449 A &amp; B/PTO

**INFORMATION DISCLOSURE  
STATEMENT BY APPLICANT**

(use as many sheets as necessary)

Sheet

1

of

2

*Complete if Known*

Application Number	10/598,516
Confirmation Number	6721
Filing Date	September 1, 2006
First Named Inventor	Fumio SAMIZO
Art Unit	Unknown
Examiner Name	Unknown
Attorney Docket Number	Q96826

**CITED DOCUMENTS**

Examiner Initials*	Cite No. <sup>1</sup>	Document Number		Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document
		Number	Kind Code <sup>2</sup> (if known)		
		US 6,713,477	B1	03-2004	Scarlato et al.
	0282905	US 2005/008996	A1	12-2005	Horiuchi
		US 2004/0043984	A1	03-2004	O'Brien
		US 4,584,300		04-1986	Iwao et al.
		US 4,771,050		09-1988	Meguro et al.
		US 4,755,509		07-1988	Teulon
		US 5,496,817		03-1996	Kawashima et al.
		US 3,923,709		12-1975	Worley
		US 3,910,904		10-1975	Worley

**FOREIGN PATENT DOCUMENTS**

Examiner Initials*	Cite No. <sup>1</sup>	Foreign Patent Document			Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Translation <sup>6</sup>
		Country Code <sup>3</sup>	Number <sup>4</sup>	Kind Code <sup>5</sup> (if known)			
		JP	2002-128769	A	05-2002	Sumitomo Pharmaceutical Co.	Yes
		WO	96/20936		07-1996	Synkyong Industries Co., Ltd.	
		JP	10-120621	A	05-1998	Takeda Chemical Industries	Abstract
		JP	10-84987	A	04-1998	Takeda Chemical Industries	Abstract

**NON PATENT LITERATURE DOCUMENTS**

Examiner Initials*	Cite No. <sup>1</sup>	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city, and/or country where published.	Translation <sup>6</sup>
		Masanobu Fujita, et al., "A Novel, Convenient Synthesis of 2-Aryl-3-oxo-3,4-dihydro-2H-1,4-benzothiazines", <i>Synthesis</i> , August 1988, pp. 599-604	
		J.W. Worley, et al., "2-Dialkylphosphonyl- and 2-Alkylidene-3,4-dihydro-3-oxo-2H-1,4-benzothiazines", <i>J. Org. Chem.</i> , Vol. 40, No. 12, 1975, pp. 1731-1734	
		Hiroyuki Tawada, et al., "Studies on Antidiabetic Agents. IX. A New Aldose Reductase Inhibitor, AD-5467, and Related 1,4-Benzoxazine and 1,4-Benzothiazine Derivatives: Synthesis and Biological Activity", <i>Chem. Pharm. Bull.</i> , Vol. 38, No. 5, 1990, pp. 1238-1245	
		Giuseppe Trapani, et al., "Synthesis of 2-Substituted-N-Carboxymethyl-1,5-Benzothiazepin-4-Ones and -1,4-Benzothiazin-3-Ones and their Evaluation as Angiotensin Converting Enzyme Inhibitors", <i>Il Farmaco</i> , Vol. 50, No. 2, 1995, pp. 107-112	
		Masahiro Kajino, et al., "Synthesis and Biological Activities of New 1,4-Benzothiazine Derivatives", <i>Chem. Pharm. Bull.</i> , Vol. 39, No. 11, 1991, pp. 2888-2895	

Examiner Signature	/Kahsay Habte/	Date Considered	05/22/2009
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\*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

<sup>1</sup>Applicant's unique citation designation number (optional). <sup>2</sup>See Kind Codes of USPTO Patent Documents at [www.uspto.gov](http://www.uspto.gov), MPEP 901.04 or follow the hyperlink from the title of the document to the intranet. <sup>3</sup>Enter Office that issued the document, by the two-letter code (WIPO Standard ST. 3). <sup>4</sup>For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. <sup>5</sup>Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST. 16 if possible. <sup>6</sup>Applicant is to indicate here if English language Translation is attached.

Substitute for Form 1449 A & B/PTO			Complete if Known	
<b>INFORMATION DISCLOSURE STATEMENT BY APPLICANT</b> <i>(use as many sheets as necessary)</i>			Application Number	10/598,516
			Confirmation Number	6721
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			First Named Inventor	Fumio SAMIZO
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			Examiner Name	Unknown
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U.S. PATENT DOCUMENTS					
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		Number	Kind Code <sup>2</sup> (if known)		
		US 3,873,555		03-1975	Bowden

FOREIGN PATENT DOCUMENTS							
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		JP	2000-309575	A	11-2000	Takeda Chemical Industries	Abstract
		JP	2002-37761	A	02-2002	Takeda Chemical Industries	Abstract

NON PATENT LITERATURE DOCUMENTS			
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		Sarah E. Kelly and Thomas G. LaCour, "An Efficient Synthesis of (S)-2-Hexylthiododecanoic Acid", <i>Tetrahedron: Asymmetry</i> , Vol. 3, No. 6, 1992, pp. 715-718	
		Zhe Wang, <i>et al.</i> , "Enantioselective Synthesis of $\alpha$ -Hydroxy Carboxylic Acids: Direct Conversion of $\alpha$ -Oxocarboxylic Acids to Enantiomerically Enriched $\alpha$ -Hydroxy Carboxylic Acids via Neighboring Group Control", <i>Tetrahedron Letters</i> , Vol. 39, 1998, p. 5501-5504	
		Yasuo Yoshihara, <i>et al.</i> , "Matrix metalloproteinases and tissue inhibitors of metalloproteinases in synovial fluids from patients with rheumatoid arthritis or osteoarthritis", <i>Annals of the Rheumatic Diseases</i> , Vol. 59, No. 6, 2000, pp. 455-461	
		R. Clark Billinghurst, <i>et al.</i> , "Enhanced Cleavage of Type II Collagen by Collagenases in Osteoarthritic Articular Cartilage", <i>J. Clinical Investigation</i> , Vol. 99, No. 7, 1997, pp. 1534-1545	
		Yuichi Nagakawa, <i>et al.</i> , "Histologic Features of Venous Invasion, Expression of Vascular Endothelial Growth Factor and Matrix Metalloproteinase-2 and Matrix Metalloproteinase-9, and the Relation with Liver Metastasis in Pancreatic Cancer", <i>Pancreas</i> , Vol. 24, No. 2, 2002, pp. 169-178	
		Francesco Sinigaglia and Juergen Hammer, "Motifs and Supermotifs for MHC Class II Binding Peptides", <i>J. Exp. Med.</i> , Vol. 181, Feb. 1995, pp. 449-451	
		E.M. O'Byrne, <i>et al.</i> , "Oral administration of a matrix metalloproteinase inhibitor, CGS 27023A, protects the cartilage proteoglycan matrix in a partial meniscectomy model of osteoarthritis in rabbits", <i>Inflamm. Res.</i> , Vol. 44, Supplement 2, 1995, pp. S117-S118	
		A. Yamada, <i>et al.</i> , "ONO-4817, an orally active matrix metalloproteinase inhibitor, prevents lipopolysaccharide-induced proteoglycan release from the joint cartilage in guinea pigs", <i>Inflamm. Res.</i> , Vol. 49, 2000, pp. 144-146	
		E.J. Lewis, <i>et al.</i> , "Ro 32-3555, an orally active collagenase inhibitor, prevents cartilage breakdown <i>in vitro</i> and <i>in vivo</i> ", <i>British Journal of Pharmacology</i> , Vol. 121, 1997, pp. 540-546	
		Alexander Rosemurgy, <i>et al.</i> , "Marimastat in Patients With Advanced Pancreatic Cancer", <i>Am. J. Clin. Oncol. (CCT)</i> , Vol. 22, No. 3, 1999, pp. 247-252	
		M. J. Janusz, <i>et al.</i> , "Induction of osteoarthritis in the rat by surgical tear of the meniscus: Inhibition of joint damage by a matrix metalloproteinase inhibitor", <i>Osteoarthritis and Cartilage</i> , Vol. 10, 2002, pp. 785-791	

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